5 CLAIMS

What is claimed is:

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- A method for treating sexual dysfunction, which comprises
 administering to an individual in need thereof a therapeutically effective amount of an active agent on an as-needed basis, wherein said active agent is selected from the group consisting of:
 - a. Substituted-benzyl or substituted-indolyl cyclic amino- substituted N-aryl or heteroaryl cyclic amines (illustrated below) as disclosed in U.S. Patent No. 6,225,324 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$Z \longrightarrow N \longrightarrow N \longrightarrow Y$$

and/or hydrates thereof wherein

Z is selected from phenyl, benzodioxolone, benzodioxole, benzothiazole, pyridine, pyridazine, pyrimidine, and quinoline moieties that are unsubstituted or optimally substituted with one to three substituents selected from C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano, and halo;

the solid and dotted lines denote either a double or a single covalent bond; m and n are independently integers 1 to 3; and

Y is
$$-H_2C$$
 or R_3

in which R₁ and R₂ are independently selected from hydrogen, halogen, and alkoxy, and R₃ is hydrogen, halogen, or cyano;

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b. The compound shown below identified as BMS-296859;

c. Thiophene and benzothiophene compounds (illustrated below) as
disclosed in U.S. Patent No. 6,262,056 and PCT Publication No.
WO99/02516 and salts, enantiomers, analogs, esters, amides, prodrugs,
active metabolites, and derivatives thereof;

$$R_3$$
 R_4
 R_3
 R_4

$$R_2$$
 R_3
 R_4
 R_5

 d. 3-[2-(1-(4'-piperonylpiperazinyl))indolyl]-carboxaldehydes (illustrated below) as disclosed in PCT Publication No. WO94/25454 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

20

e. 3-[4-(3-substituted phenyl)piperazin-1-yl]-1-(benzo[b]thiophen-3-yl)propanol derivatives (illustrated below) as disclosed in Orus L et al. (2002) Pharmazie 57: 515-8 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

10

$$Ar$$
 Z $(CH2)n$ N R_2 R_3

15

f. 1-aryl-3-[4-arylpiperazin-1-yl]-1-propane derivatives (illustrated below) as disclosed in Orus L *et al.* (2002) *J Med Chem* 45: 4128-39 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R$$
 S
 N_1
 N_4
 Ar_1

20

g. The compound shown below and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

h. 3-[4-(aryl)piperazin-1-yl]-1-(benzo[b]thiophen-2-yl)propane derivatives
 (illustrated below) as disclosed in Orus L et al. (2002) Pharmazie 57: 355-7 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

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15

i. 1-aryl-3-(4-arylpiperazin-1-yl)propane derivatives (illustrated below) as disclosed in Martinez-Esparza J et al. (2001) J Med Chem 44: 418-28 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$Ar_2$$
 N_1
 N_4
 Ar_1

20

j. 3-[4-(aryl)piperazin-1-yl]-1-(benzo[b]thiophen-3-yl)propane derivatives
 (illustrated below) as disclosed in Martinez J et al. (2001) Eur J Med
 Chem 36: 55-61 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

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k. 3-[(4-aryl)piperazin-1-yl]-1-arylpropane derivatives (illustrated below) as disclosed in Oficialdegui AM et al. (2000) Farmaco 55: 345-53 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

 Ar_{1} Z $N-Ar_{1}$

15

 The compound VN2222 (illustrated below) as identified and disclosed in Tordera RM et al. (2002) Eur J Pharmacol 442: 63-71 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

20

m. Arylpiperazinyl cyclohexyl derivatives (illustrated below) as disclosed in
 U.S. Patent No. 6,465,482 and salts, enantiomers, analogs, esters, amides,
 prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 X_1
 $X_2 = X_3$
 R_3
 R_4
 R_5
 R_6

 Aryl piperazinyl cyclohexyl derivatives (illustrated below) as disclosed in U.S. Patent No. 6,337,336 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$

10

o. Arylpiperazinyl-cyclohexyl indole derivatives (illustrated below) as disclosed in U.S. Patent No. 6,313,126 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 X_1
 $X_2 = X_3$
 R_3
 $X_2 = X_3$
 R_4
 X_1
 $X_2 = X_3$
 R_4
 X_1
 $X_2 = X_3$
 R_4
 X_1
 $X_2 = X_3$
 X_3

15

p. 3,4-Dihydro-2H-benzo[1,4]oxazinyl-methyl)-[3-(1H-indol-3yl)-alkyl]-amines (illustrated below) as disclosed in U.S. Patent No. 6,313,114 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

20

q. N-arloxyethyl-alkylamines (illustrated below) as disclosed in U.S. Patent No. 6,291,683 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

r. Tetrahydroisoquinolinyl-indole derivatives (illustrated below) as disclosed in U.S. Patent No. 6,245,780 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_3$$
 R_2
 R_1
 R_2
 R_3
 R_4
 R_5

10

s. 3,4-Dihydro-2H-benzo[1,4]oxazine derivatives (illustrated below) as disclosed in U.S. Patent No. 6,221,863 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

15

t. 1,4-disubstituted cyclohexane derivatives (illustrated below) as disclosed in U.S. Patent No. 6,200,994 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 R_2 R_3 R_4 R_5

20

Indol-3-yl-cyclohexylamine derivatives (illustrated below) as disclosed in
 U.S. Patent No. 6,162,803 and salts, enantiomers, analogs, esters, amides,
 prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 R_2
 R_3
 R_4
 R_5

N-aryloxyethyl-indoly-alkylamines (illustrated below) as disclosed in U.S.
 Patent No. 6,150,533 and salts, enantiomers, analogs, esters, amides,
 prodrugs, active metabolites, and derivatives thereof;

$$HN$$
 $X-Y$
 $N-(CH_2)_n$
 N
 N

10

w. Aryloxyethyl-indoly-alkylamine derivatives (illustrated below) as disclosed in U.S. Patent No. 6,121,307 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

15

x. N-aryloxyethylarnine derivatives (illustrated below) as disclosed in U.S. Patent No. 6,110,956 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 R_2
 R_4
 R_5
 R_6
 R_7

y. Aryl-8-azabicyclo[3.2.1]octanes (illustrated below) as disclosed in PCT Publication No. WO02/96906 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$Ar_1$$
 Ar_2
 Ar_1
 Ar_2

Azaindole derivatives (illustrated below) as disclosed in PCT Publication
 No. WO00/64898 and salts, enantiomers, analogs, esters, amides,
 prodrugs, active metabolites, and derivatives thereof;

$$R_1$$

aa. Dihydroisoquinolinyl-indole derivatives (illustrated below) as disclosed in PCT Publication No. WO00/64886 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_3$$
 R_2
 R_1
 R_3
 R_4
 R_5

bb. 3,4-dihydro-2H-benzo [1,4] oxazine derivatives (illustrated below) as disclosed in PCT Publication No. WO00/40581 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

cc. 3,4-dihydro-2Hbenzo [l, 4] oxazinyl-methyl)- [3- (lH-indoI-3-yI)-alkyI] amines (illustrated below) as disclosed in PCT Publication No.

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WO00/40580 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

dd. 1,4 disubstituted cyclohexane derivatives (illustrated below) as disclosed in PCT Publication No. WO00/40579 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 R_2
 R_3
 R_4
 R_5

ee. Arylpiperazinyl cyclohexyl derivatives (illustrated below) as disclosed in PCT Publication No. WO00/40554 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$\begin{array}{c|c}
R_1 & R_2 \\
X_1 & R_3 \\
X_2 = X_3 & R_3
\end{array}$$

ff. Indol-3-yl-cyclohexylamine derivatives (illustrated below) as disclosed in PCT Publication No. WO99/51592 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

15

10

$$R_1$$
 R_2 R_3 R_4 R_5

gg. N-aryloxyethyl-indoly-alkylamines (illustrated below) as disclosed in PCT Publication No. WO99/51591 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

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5

hh. N-aryloxyethylamine derivatives (illustrated below) as disclosed in PCT Publication No. WO99/51576 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 R_2
 R_3
 R_4
 R_5
 R_6
 R_7

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 Aryloxyethyl-indoly-alkylamine derivatives (illustrated below) as disclosed in PCT Publication No. WO99/51575 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

jj. Substituted phenoxypropylamines (illustrated below) as disclosed in U.S. Patent Application No. 2002/0111358 and PCT Publication No. WO 02/422297 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 OH N N

10

kk. Substituted aminothienopyridines (illustrated below) as disclosed in U.S. Patent No. 5,252,581 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

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 Aromatic amines of arylpiperazines (illustrated below) as disclosed in PCT Publication No. WO 98/23590 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

mm. Piperidines and pyrrolidines (illustrated below) as disclosed in PCT Publication No. WO 97/40038 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1 \longrightarrow N \longrightarrow N \longrightarrow R_2$$

10

nn. The compound (+)-MCU-629 as shown below and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

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oo. Benzoxazinone derivatives (illustrated below) as disclosed in PCT Publication No. WO 03/091248 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

pp. Indole derivatives (illustrated below) as disclosed in PCT Publication WO 01/46181 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

qq. The compound shown below and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

rr. Tetrahydropyridine and piperazine derivatives (illustrated below) as disclosed in U.S. Patent Nos. 6,596,722, 6,476,035, and 6,391,882, U.S. Patent Application Nos. 2002/0035113, 2002/0173512, and 2003/0018050, and PCT Publication Nos. WO 00/43382, WO 99/05140, and WO 99/67237 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof; and

$$Ar_1-W$$
 N
 Ar_2

ss. The compound LU-36-274 as shown below and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof.

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- 5 2. The method of claim 1, wherein the sexual dysfunction is Premature Ejaculation.
 - 3. The method of claim 1, wherein the active agent is administered from about 0 minutes to about 10 hours prior to commencement of an activity wherein suppression of the symptoms of sexual dysfunction would be desirable.
 - 4. The method of claim 3, wherein the active agent is administered from about from about 0 minutes to about 6 hours prior to commencement of an activity wherein suppression of the symptoms of sexual dysfunction would be desirable.

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- 5. The method of claim 3, wherein the active agent is administered from about 0 minutes to about 4 hours prior to commencement of an activity wherein suppression of the symptoms of sexual dysfunction would be desirable.
- 20 6. The method of claim 1, wherein the active agent is contained within a pharmaceutical formulation.
 - 7. The method of claim 6, wherein the pharmaceutical formulation is a unit dosage form.

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- 8. The method of claim 6, wherein the pharmaceutical formulation is a controlled release dosage form.
- 9. The method of claim 6, wherein the pharmaceutical formulation is a delayed release dosage form.
 - 10. The method of claim 1, wherein the active agent is administered by a mode selected from the group consisting of oral, transmucosal, topical, transdermal, and parenteral.

- 5 11. The method of claim 10, wherein the active agent is administered transmucosally.
- 12. The method of claim 11, wherein the mode of transmucosal delivery of the active agent is selected from the group consisting of sublingual, buccal, intranasal, transurethral, rectal, and inhalation.
 - 13. The method of claim 10, wherein the active agent is administered orally.
 - 14. The method of claim 6, wherein the active agent is administered orally.
 - The method of claim 14, wherein the pharmaceutical formulation is selected from the group consisting of tablets, capsules, caplets, solutions, suspensions, syrups, granules, beads, powders, pellets, and rapidly disintegrating tablets.

- 20 16. The method of claim 15, wherein the rapidly disintegrating tablet is an effervescent tablet.
 - 17. The method of claim 15, wherein the pharmaceutical formulation comprises a tablet.
 - 18. The method of claim 15, wherein the pharmaceutical formulation comprises a capsule.
- The method of claim 6, wherein the pharmaceutical formulation further comprises an additional active agent.
 - 20. The method of claim 1, wherein the active agent is a compound selected from the group consisting of:

ОН ; and

21. The method of claim 1, wherein the active agent comprises the following compound

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22. A pharmaceutical formulation for treating sexual dysfunction, which comprises administering to an individual in need thereof a therapeutically effective amount of an active agent on an as-needed basis, wherein said active agent is selected from the group consisting of:

15

a. Substituted-benzyl or substituted-indolyl cyclic amino- substituted N-aryl or heteroaryl cyclic amines (illustrated below) as disclosed in U.S. Patent No. 6,225,324 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$Z \longrightarrow N \longrightarrow N \longrightarrow Y$$

20

and/or hydrates thereof wherein

Z is selected from phenyl, benzodioxolone, benzodioxole, benzothiazole, pyridine, pyridazine, pyrimidine, and quinoline moieties that are

unsubstituted or optimally substituted with one to three substituents selected from C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano, and halo;

the solid and dotted lines denote either a double or a single covalent bond; m and n are independently integers 1 to 3; and

Y is
$$-H_2C$$
 or R_3

in which R₁ and R₂ are independently selected from hydrogen, halogen, and alkoxy, and R₃ is hydrogen, halogen, or cyano;

b. The compound shown below identified as BMS-296859;

15

c. Thiophene and benzothiophene compounds (illustrated below) as
disclosed in U.S. Patent No. 6,262,056 and PCT Publication No.
WO99/02516 and salts, enantiomers, analogs, esters, amides, prodrugs,
active metabolites, and derivatives thereof;

$$R_2$$
 R_3
 R_1
 R_4
 R_5

$$R_2$$
 R_3
 R_4
 R_5
 R_4

d. 3-[2-(1-(4'-piperonylpiperazinyl))indolyl]-carboxaldehydes (illustrated below) as disclosed in PCT Publication No. WO94/25454 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

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e. 3-[4-(3-substituted phenyl)piperazin-1-yl]-1-(benzo[b]thiophen-3-yl)propanol derivatives (illustrated below) as disclosed in Orus L *et al.* (2002) *Pharmazie* 57: 515-8 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$Ar$$
 Z
 $(CH2)n$
 N
 R_2
 R_3

20

f. 1-aryl-3-[4-arylpiperazin-1-yl]-1-propane derivatives (illustrated below) as disclosed in Orus L *et al.* (2002) *J Med Chem* 45: 4128-39 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R$$
 S
 N_1
 N_4
 Ar_1

g. The compound shown below and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

h. 3-[4-(aryl)piperazin-1-yl]-1-(benzo[b]thiophen-2-yl)propane derivatives (illustrated below) as disclosed in Orus L *et al.* (2002) *Pharmazie* 57: 355-7 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

15

10

i. 1-aryl-3-(4-arylpiperazin-1-yl)propane derivatives (illustrated below) as disclosed in Martinez-Esparza J et al. (2001) J Med Chem 44: 418-28 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$Ar_2$$
 X N_1 N_4 Ar_4

j. 3-[4-(aryl)piperazin-1-yl]-1-(benzo[b]thiophen-3-yl)propane derivatives
 (illustrated below) as disclosed in Martinez J et al. (2001) Eur J Med
 Chem 36: 55-61 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

10

k. 3-[(4-aryl)piperazin-1-yl]-1-arylpropane derivatives (illustrated below) as disclosed in Oficialdegui AM et al. (2000) Farmaco 55: 345-53 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

15

$$Ar_2$$
 Z N $N-Ar_1$

20

 The compound VN2222 (illustrated below) as identified and disclosed in Tordera RM et al. (2002) Eur J Pharmacol 442: 63-71 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

25

m. Arylpiperazinyl cyclohexyl derivatives (illustrated below) as disclosed in
 U.S. Patent No. 6,465,482 and salts, enantiomers, analogs, esters, amides,
 prodrugs, active metabolites, and derivatives thereof;

$$\begin{array}{c} R_1 \\ X_1 \\ X_2 = X_3 \\ R_3 \end{array} \qquad \begin{array}{c} R_4 \\ N \\ R_6 \end{array}$$

 Aryl piperazinyl cyclohexyl derivatives (illustrated below) as disclosed in U.S. Patent No. 6,337,336 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$

10

o. Arylpiperazinyl-cyclohexyl indole derivatives (illustrated below) as disclosed in U.S. Patent No. 6,313,126 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 X_1
 X_2
 X_3
 R_3
 X_2
 X_3
 X_4
 X_4
 X_5
 X_6

15

p. 3,4-Dihydro-2H-benzo[1,4]oxazinyl-methyl)-[3-(1H-indol-3yl)-alkyl]-amines (illustrated below) as disclosed in U.S. Patent No. 6,313,114 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

r. Tetrahydroisoquinolinyl-indole derivatives (illustrated below) as disclosed in U.S. Patent No. 6,245,780 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_3$$
 R_2
 R_1
 R_3
 R_4
 R_5

s. 3,4-Dihydro-2H-benzo[1,4]oxazine derivatives (illustrated below) as disclosed in U.S. Patent No. 6,221,863 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

 1,4-disubstituted cyclohexane derivatives (illustrated below) as disclosed in U.S. Patent No. 6,200,994 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 R_2 R_3 R_4 R_5 R_6

10

15

u. Indol-3-yl-cyclohexylamine derivatives (illustrated below) as disclosed in
 U.S. Patent No. 6,162,803 and salts, enantiomers, analogs, esters, amides,
 prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 R_2
 R_3
 R_4
 R_5

N-aryloxyethyl-indoly-alkylamines (illustrated below) as disclosed in U.S.
 Patent No. 6,150,533 and salts, enantiomers, analogs, esters, amides,
 prodrugs, active metabolites, and derivatives thereof;

$$HN$$
 $X-Y$
 $N-(CH_2)_n$
 N
 N

 w. Aryloxyethyl-indoly-alkylamine derivatives (illustrated below) as disclosed in U.S. Patent No. 6,121,307 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

x. N-aryloxyethylarnine derivatives (illustrated below) as disclosed in U.S. Patent No. 6,110,956 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

10

15

$$R_1$$
 R_2
 R_3
 R_4
 R_5
 R_6
 R_7

y. Aryl-8-azabicyclo[3.2.1]octanes (illustrated below) as disclosed in PCT Publication No. WO02/96906 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$Ar_1$$
 Ar_2

10

Azaindole derivatives (illustrated below) as disclosed in PCT Publication
 No. WO00/64898 and salts, enantiomers, analogs, esters, amides,
 prodrugs, active metabolites, and derivatives thereof;

$$R_{1}$$

15

aa. Dihydroisoquinolinyl-indole derivatives (illustrated below) as disclosed in PCT Publication No. WO00/64886 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_3$$
 R_2
 R_1
 R_1
 R_2
 R_3
 R_4
 R_5

20

bb. 3,4-dihydro-2H-benzo [1,4] oxazine derivatives (illustrated below) as disclosed in PCT Publication No. WO00/40581 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

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cc. 3,4-dihydro-2Hbenzo [l, 4] oxazinyl-methyl)- [3- (lH-indoI-3-yI)-alkyI] amines (illustrated below) as disclosed in PCT Publication No. WO00/40580 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

10

dd. 1,4 disubstituted cyclohexane derivatives (illustrated below) as disclosed in PCT Publication No. WO00/40579 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 R_2
 R_3
 R_4
 R_5

15

ee. Arylpiperazinyl cyclohexyl derivatives (illustrated below) as disclosed in PCT Publication No. WO00/40554 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$\begin{array}{c|c} R_1 & R_2 & R_3 \\ X_1 & X_2 = X_3 & R_3 \end{array}$$

ff. Indol-3-yl-cyclohexylamine derivatives (illustrated below) as disclosed in PCT Publication No. WO99/51592 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 R_2 R_3 R_4 R_5

gg. N-aryloxyethyl-indoly-alkylamines (illustrated below) as disclosed in PCT Publication No. WO99/51591 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

hh. N-aryloxyethylamine derivatives (illustrated below) as disclosed in PCT Publication No. WO99/51576 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 R_2
 R_3
 R_4
 R_5
 R_6
 R_7

 Aryloxyethyl-indoly-alkylamine derivatives (illustrated below) as disclosed in PCT Publication No. WO99/51575 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

15

20

5

jj. Substituted phenoxypropylamines (illustrated below) as disclosed in U.S. Patent Application No. 2002/0111358 and PCT Publication No. WO 02/422297 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 OH N N N

10

kk. Substituted aminothienopyridines (illustrated below) as disclosed in U.S. Patent No. 5,252,581 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

15

 Aromatic amines of arylpiperazines (illustrated below) as disclosed in PCT Publication No. WO 98/23590 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

mm. Piperidines and pyrrolidines (illustrated below) as disclosed in PCT Publication No. WO 97/40038 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1 \longrightarrow N \longrightarrow R_2 \longrightarrow R_3$$

10

nn. The compound (+)-MCU-629 as shown below and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

15

oo. Benzoxazinone derivatives (illustrated below) as disclosed in PCT Publication No. WO 03/091248 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

pp. Indole derivatives (illustrated below) as disclosed in PCT Publication WO 01/46181 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

qq. The compound shown below and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

rr. Tetrahydropyridine and piperazine derivatives (illustrated below) as disclosed in U.S. Patent Nos. 6,596,722, 6,476,035, and 6,391,882, U.S. Patent Application Nos. 2002/0035113, 2002/0173512, and 2003/0018050, and PCT Publication Nos. WO 00/43382, WO 99/05140, and WO 99/67237 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof; and

$$Ar_1-W$$
 N
 Ar_2

ss. The compound LU-36-274 as shown below and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof.

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- The pharmaceutical formulation of claim 22, further comprising a carrier suitable for transmucosal drug delivery buccally, sublingually, intranasally, rectally, or by inhalation.
- 24. The pharmaceutical formulation of claim 22, wherein the sexual dysfunction is Premature Ejaculation.
 - 25. The pharmaceutical formulation of claim 23, comprising a solid dosage form for application to the buccal mucosa, and wherein the carrier is suitable for buccal drug delivery.

- 26. The pharmaceutical formulation of claim 25, wherein the carrier is a hydrolyzable polymer.
- The pharmaceutical formulation of claim 25, wherein the dosage form
 further comprises an adhesive suitable for affixing the dosage form to the buccal mucosa.
 - 28. The pharmaceutical formulation of claim 23, comprising a dosage form for application to the sublingual mucosa, and wherein the carrier is suitable for sublingual drug delivery.

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29. The pharmaceutical formulation of claim 23, comprising a dosage form for application to the rectal mucosa, and wherein the carrier is suitable for rectal drug delivery.

The pharmaceutical formulation of claim 29, comprising a rectal

suppository.

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31. The pharmaceutical formulation of claim 23, comprising a dosage form suitable for inhalation.

- 32. The pharmaceutical formulation of claim 31, comprising a liquid.
 - 33. The pharmaceutical formulation of claim 31, comprising a dry powder.
- 34. The pharmaceutical formulation of claim 31 comprising an aerosol composition.
 - 35. The pharmaceutical formulation of claim 23, wherein the pharmaceutical formulation further comprises an additional active agent.
- 15 36. The pharmaceutical formulation of claim 22, wherein the active agent is a compound selected from the group consisting of:

- The pharmaceutical formulation of claim 36, further comprising a carrier 37. suitable for transmucosal drug delivery bucally, sublingually, intranasally, rectally, or by 10 inhalation.
 - 38. The pharmaceutical formulation of claim 22, wherein the active agent comprises the following compound

39.

The pharmaceutical formulation of claim 38, further comprising a carrier suitable for transmucosal drug delivery bucally, sublingually, intranasally, rectally, or by inhalation.

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- 40. A packaged kit for use in the treatment of sexual dysfunction on an asneeded basis, comprising: a pharmaceutical formulation of an active agent; a container housing the pharmaceutical formulation during storage and prior to administration; and instructions for carrying out drug administration in a manner effective to treat sexual dysfunction; wherein said active agent is selected from the group consisting of:
 - a. Substituted-benzyl or substituted-indolyl cyclic amino- substituted N-aryl or heteroaryl cyclic amines (illustrated below) as disclosed in U.S. Patent No. 6,225,324 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$Z \longrightarrow N \longrightarrow N \longrightarrow Y$$

and/or hydrates thereof wherein

Z is selected from phenyl, benzodioxolone, benzodioxole, benzothiazole, pyridine, pyridazine, pyrimidine, and quinoline moieties that are unsubstituted or optimally substituted with one to three substituents selected from C₁₋₄ alkyl, C₁₋₄ alkoxy, cyano, and halo;

the solid and dotted lines denote either a double or a single covalent bond; m and n are independently integers 1 to 3; and

Y is
$$-H_2C$$
 or R_3

in which R₁ and R₂ are independently selected from hydrogen, halogen, and alkoxy, and R₃ is hydrogen, halogen, or cyano;

20

b. The compound shown below identified as BMS-296859;

c. Thiophene and benzothiophene compounds (illustrated below) as disclosed in U.S. Patent No. 6,262,056 and PCT Publication No. WO99/02516 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_3$$
 S R_1 N N R_4 R_5

$$R_2$$
 R_3
 R_4
 R_5

 d. 3-[2-(1-(4'-piperonylpiperazinyl))indolyl]-carboxaldehydes (illustrated below) as disclosed in PCT Publication No. WO94/25454 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

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e. 3-[4-(3-substituted phenyl)piperazin-1-yl]-1-(benzo[b]thiophen-3-yl)propanol derivatives (illustrated below) as disclosed in Orus L *et al.* (2002) *Pharmazie* 57: 515-8 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

10

$$Ar$$
 Z
 $(CH2)n$
 N
 R_2
 R_3

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f. 1-aryl-3-[4-arylpiperazin-1-yl]-1-propane derivatives (illustrated below) as disclosed in Orus L *et al.* (2002) *J Med Chem* 45: 4128-39 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R$$
 Z
 N_1
 N_4
 Ar

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g. The compound shown below and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

h. 3-[4-(aryl)piperazin-1-yl]-1-(benzo[b]thiophen-2-yl)propane derivatives
 (illustrated below) as disclosed in Orus L et al. (2002) Pharmazie 57: 355 7 and salts, enantiomers, analogs, esters, amides, prodrugs, active
 metabolites, and derivatives thereof;

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i. 1-aryl-3-(4-arylpiperazin-1-yl)propane derivatives (illustrated below) as disclosed in Martinez-Esparza J et al. (2001) J Med Chem 44: 418-28 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$Ar_2$$
 N_1
 N_4
 Ar_1

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j. 3-[4-(aryl)piperazin-1-yl]-1-(benzo[b]thiophen-3-yl)propane derivatives
 (illustrated below) as disclosed in Martinez J et al. (2001) Eur J Med
 Chem 36: 55-61 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$\begin{array}{c|c} R & & \\ \hline \\ S & & \\ \hline \\ S & & \\ \hline \\ H_3CO \\ \end{array}$$

k. 3-[(4-aryl)piperazin-1-yl]-1-arylpropane derivatives (illustrated below) as disclosed in Oficialdegui AM et al. (2000) Farmaco 55: 345-53 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

10

$$Ar_2$$
 Z N N N N N N

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 The compound VN2222 (illustrated below) as identified and disclosed in Tordera RM et al. (2002) Eur J Pharmacol 442: 63-71 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

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m. Arylpiperazinyl cyclohexyl derivatives (illustrated below) as disclosed in
 U.S. Patent No. 6,465,482 and salts, enantiomers, analogs, esters, amides,
 prodrugs, active metabolites, and derivatives thereof;

15

n. Aryl piperazinyl cyclohexyl derivatives (illustrated below) as disclosed in
 U.S. Patent No. 6,337,336 and salts, enantiomers, analogs, esters, amides,
 prodrugs, active metabolites, and derivatives thereof;

$$R_1$$

o. Arylpiperazinyl-cyclohexyl indole derivatives (illustrated below) as disclosed in U.S. Patent No. 6,313,126 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 X_1
 $X_2 = X_3$
 R_3
 X_3
 X_4
 X_5
 X_6

p. 3,4-Dihydro-2H-benzo[1,4]oxazinyl-methyl)-[3-(1H-indol-3yl)-alkyl]-amines (illustrated below) as disclosed in U.S. Patent No. 6,313,114 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

q. N-arloxyethyl-alkylamines (illustrated below) as disclosed in U.S. Patent No. 6,291,683 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

r. Tetrahydroisoquinolinyl-indole derivatives (illustrated below) as disclosed in U.S. Patent No. 6,245,780 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_3$$
 R_2
 R_1
 R_2
 R_3
 R_4
 R_5

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s. 3,4-Dihydro-2H-benzo[1,4]oxazine derivatives (illustrated below) as disclosed in U.S. Patent No. 6,221,863 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

15

t. 1,4-disubstituted cyclohexane derivatives (illustrated below) as disclosed in U.S. Patent No. 6,200,994 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 R_2
 R_3
 R_4
 R_5

Indol-3-yl-cyclohexylamine derivatives (illustrated below) as disclosed in
 U.S. Patent No. 6,162,803 and salts, enantiomers, analogs, esters, amides,
 prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 R_2
 R_3
 R_4
 R_6

v. N-aryloxyethyl-indoly-alkylamines (illustrated below) as disclosed in U.S. Patent No. 6,150,533 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 $X-Y$
 $N-(CH_2)_n$
 N
 N

10

w. Aryloxyethyl-indoly-alkylamine derivatives (illustrated below) as disclosed in U.S. Patent No. 6,121,307 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

15

N-aryloxyethylarnine derivatives (illustrated below) as disclosed in U.S. Patent No. 6,110,956 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 R_2
 R_4
 R_5
 R_6
 R_7

$$Ar_2$$
 Ar_1
 Ar_2

Azaindole derivatives (illustrated below) as disclosed in PCT Publication
 No. WO00/64898 and salts, enantiomers, analogs, esters, amides,
 prodrugs, active metabolites, and derivatives thereof;

$$R_{1}$$

aa. Dihydroisoquinolinyl-indole derivatives (illustrated below) as disclosed in PCT Publication No. WO00/64886 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_3$$
 R_2
 R_1
 R_3
 R_5

bb. 3,4-dihydro-2H-benzo [1,4] oxazine derivatives (illustrated below) as disclosed in PCT Publication No. WO00/40581 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

cc. 3,4-dihydro-2Hbenzo [l, 4] oxazinyl-methyl)- [3- (lH-indoI-3-yI)-alkyI] amines (illustrated below) as disclosed in PCT Publication No.

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WO00/40580 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

dd. 1,4 disubstituted cyclohexane derivatives (illustrated below) as disclosed in PCT Publication No. WO00/40579 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 R_2
 R_3
 R_4
 R_5

ee. Arylpiperazinyl cyclohexyl derivatives (illustrated below) as disclosed in PCT Publication No. WO00/40554 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$\begin{array}{c|c}
R_1 & R_2 \\
X_1 & R_3
\end{array}$$

$$\begin{array}{c|c}
R_4 & R_5 \\
X_2 = X_3 & R_3
\end{array}$$

ff. Indol-3-yl-cyclohexylamine derivatives (illustrated below) as disclosed in PCT Publication No. WO99/51592 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

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$$R_1$$
 R_2 R_3 R_4 R_5

gg. N-aryloxyethyl-indoly-alkylamines (illustrated below) as disclosed in PCT Publication No. WO99/51591 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

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hh. N-aryloxyethylamine derivatives (illustrated below) as disclosed in PCT
 Publication No. WO99/51576 and salts, enantiomers, analogs, esters,
 amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 R_2
 R_3
 R_4
 R_5
 R_6
 R_7

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 ii. Aryloxyethyl-indoly-alkylamine derivatives (illustrated below) as disclosed in PCT Publication No. WO99/51575 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

jj. Substituted phenoxypropylamines (illustrated below) as disclosed in U.S. Patent Application No. 2002/0111358 and PCT Publication No. WO 02/422297 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 OH N N N

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kk. Substituted aminothienopyridines (illustrated below) as disclosed in U.S. Patent No. 5,252,581 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

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 Aromatic amines of arylpiperazines (illustrated below) as disclosed in PCT Publication No. WO 98/23590 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

mm. Piperidines and pyrrolidines (illustrated below) as disclosed in PCT Publication No. WO 97/40038 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

$$R_1$$
 N
 R_2
 R_3

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nn. The compound (+)-MCU-629 as shown below and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

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oo. Benzoxazinone derivatives (illustrated below) as disclosed in PCT Publication No. WO 03/091248 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

qq. The compound shown below and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof;

rr. Tetrahydropyridine and piperazine derivatives (illustrated below) as disclosed in U.S. Patent Nos. 6,596,722, 6,476,035, and 6,391,882, U.S. Patent Application Nos. 2002/0035113, 2002/0173512, and 2003/0018050, and PCT Publication Nos. WO 00/43382, WO 99/05140, and WO 99/67237 and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof; and

$$Ar_1-W$$
 N
 Ar_2

ss. The compound LU-36-274 as shown below and salts, enantiomers, analogs, esters, amides, prodrugs, active metabolites, and derivatives thereof.

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- 5 41. The packaged kit of claim 40, wherein the sexual dysfunction is Premature Ejaculation.
 - 42. The packaged kit of claim 40, wherein the active agent is a compound selected from the group consisting of:

43. The packaged kit of claim 40, wherein the active agent comprises the following compound

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